L25 ANSWER 18 OF 31 HCAPLUS COPYRIGHT 2004 ACS on STN

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ACCESSION NUMBER: 2003:1006950 HCAPLUS
DOCUMENT NUMBER: 140:59659
TITLE: Preparation of indole, indazole, and benzazole derivatives as β3-adrenergic receptor agonists
INVENTOR(S): Ueno, Yoshihide; Noguchi, Tsuyoshi; Hirota, Kotaro; Sawada, Nobuyuki; Umezome, Takashi
PATENT ASSIGNEE(S): Sumitomo Pharmaceuticals Co., Ltd., Japan
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CODEN: PIXXD2
DOCUMENT TYPE: Patent
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FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

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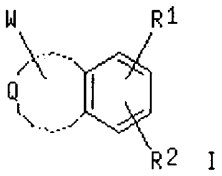
WO 2003106418	A1	20031224	WO 2003-JP7382	20030610

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: JP 2002-171400 A 20020612
JP 2003-27529 A 20030204

OTHER SOURCE(S): MARPAT 140:59659
GI



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AB The title compds. (I) [wherein W = ArCH(OH)CR4R5NHCR6R7CH2 bonded to a possible position on Q; Q in cooperation with W = -C(W):C(R3A)N(R3)-, -C(R3A):C(W)N(R3)-, -C(R3A):C(R3B)N(W)-, C(W):N-N(R3)-, C(R3A):N-N(W)-, -N:C(W)-N(R3)-, -N:C(R3A)-N(W)-, -C(W):N-O-, -C(W):N-S-; R3A, R3B, R4-R7 = H, (un)substituted lower alkyl; R1 = (un)substituted lower alkyl, -X-R1e-CONR1aR1b, -X-R1e-CO2R1a, -X-R1d; wherein X = a single bond, O, S, N(R1c), N(R1c)CO, CON(R1c), N(R1c)SO2, SO2N(R1c), CONHSO2; R1e = a single bond, (un)substituted lower alkylene; R1a, R1b, R1c = H, each (un)substituted lower alkyl, aralkyl, aryl, cycloalkyl, or heterocyclyl; or NR1aR1b = (un)substituted 3- to 8-membered satd. cyclic amino optionally contg. O or NH in the ring; R1d = H, (un)substituted lower alkyl, Ph, cycloalkyl optionally having one or plural no. of CH2 groups replaced with O or (un)substituted NH; R2 = H, halo, (un)substituted lower alkyl, lower alkenyl, or NH2, OH, lower alkoxy; or R1 and R2 together represents methylenedioxy optionally substituted with CO2H or alkoxycarbonyl; R3 = H, (un)substituted lower alkyl; R1 and R3 together

represents -X-R1e-CO-; Ar = (un)substituted Ph or pyridyl etc.] or pharmaceutically acceptable salts of the compds. are prepd. The compds. I or salts thereof have a stimulating activity on a β3-adrenergic receptor. They are useful as therapeutic agents for obesity, hyperglycemia, increased urinary frequency (pollakiuria), depression, and gallstone. For example, [3-[(2R)-2-[(2R)-2-hydroxy-2-pyridin-3-ylethylamino]propyl]-1H-indol-7-yloxy]acetic acid bistrifluoroacetate in vitro showed the selective activity for stimulating human β3 receptor in SK-N-MC cells which was measured based on producing cAMP with intrinsic activity of 48 nM whereas the activity for stimulating human β1 and β2-receptor in THP-1 cells was obsd. at ≥10 μM.

IT **639082-48-7P**

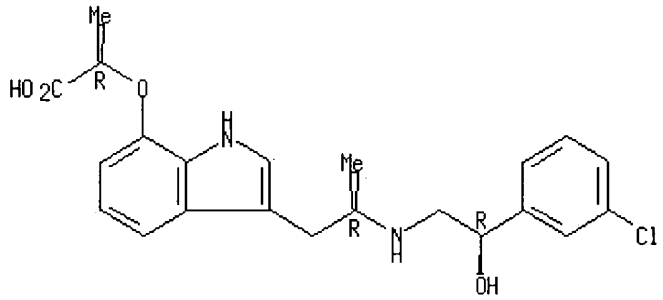
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of indole, indazole, and benzazole derivs. as β3-adrenergic receptor agonists for treatment of obesity, hyperglycemia, increased urinary frequency (pollakiuria), depression, and gallstone)

RN 639082-48-7 HCAPLUS

CN Propanoic acid, 2-[[3-[(2R)-2-[[(2R)-2-(3-chlorophenyl)-2-hydroxyethyl]amino]propyl]-1H-indol-7-yl]oxy]-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 106 THERE ARE 106 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT